

COMBINATORIAL APPROACH TO CHIRAL REAGENTS OR CATALYSTS
HAVING AMINE OR AMINO ALCOHOL LIGANDS

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Abstract of the Disclosure

10 Functionalized amine derivatives are prepared by reacting an
amine, a carbonyl derivative, and an organoboron compound under
mild conditions. Organoboronic acids (4) react with amines (2)
and alpha-hydroxy aldehydes (3) to give anti-alpha-amino alcohols
(1) with very high diastereoselectivities (>99% de). When
15 optically pure alpha-hydroxy aldehydes are used in this process,
no racemization occurs and the products are obtained with very
high enantioselectivities (>99% ee). The reaction also works with
unprotected glyceraldehyde to give the corresponding amino diol
derivatives, while unprotected carbohydrates give the
20 corresponding amino polyols. The chiral amino alcohol products
of this process or their derivatives, react further with metals
or non-metals to give adducts that are effective catalysts for a
variety of asymmetric reactions. Overall, the present invention
relies on the facile synthesis of the chiral amino alcohol
ligands for the rapid construction of combinatorial libraries of
25 chiral catalysts. These libraries can then be used to identify
the most suitable catalyst for a particular asymmetric
transformation.